

USPATFULL

Krishnan 10/821631

03/10/2006

> file registry
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STRUCTURE FILE UPDATES: 9 MAR 2006 HIGHEST RN 876338-69-1
DICTIONARY FILE UPDATES: 9 MAR 2006 HIGHEST RN 876338-69-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when
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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> d L24

L24 ANALYZE L8 1- LC : 3 TERMS

| TERM # | # OCC | # DOC | % DOC | LC |
|--------|-------|-------|--------|-----------|
| 1 | 22 | 22 | 100.00 | CA |
| 2 | 22 | 22 | 100.00 | CAPLUS |
| 3 | 22 | 22 | 100.00 | USPATFULL |

***** END OF L24***

=> file uspatfull

FILE 'USPATFULL' ENTERED AT 15:28:51 ON 10 MAR 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 Mar 2006 (20060309/PD)
FILE LAST UPDATED: 9 Mar 2006 (20060309/ED)
HIGHEST GRANTED PATENT NUMBER: US7010810
HIGHEST APPLICATION PUBLICATION NUMBER: US2006053519
CA INDEXING IS CURRENT THROUGH 7 Mar 2006 (20060307/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 Mar 2006 (20060309/PD)

file beilstein

Krishnan 10/821631

03/10/2006

=> file beilstein

FILE 'BEILSTEIN' ENTERED AT 14:37:47 ON 10 MAR 2006

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FILE LAST UPDATED ON JANUARY 17, 2006

FILE COVERS 1771 TO 2005.

*** FILE CONTAINS 9,428,406 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *

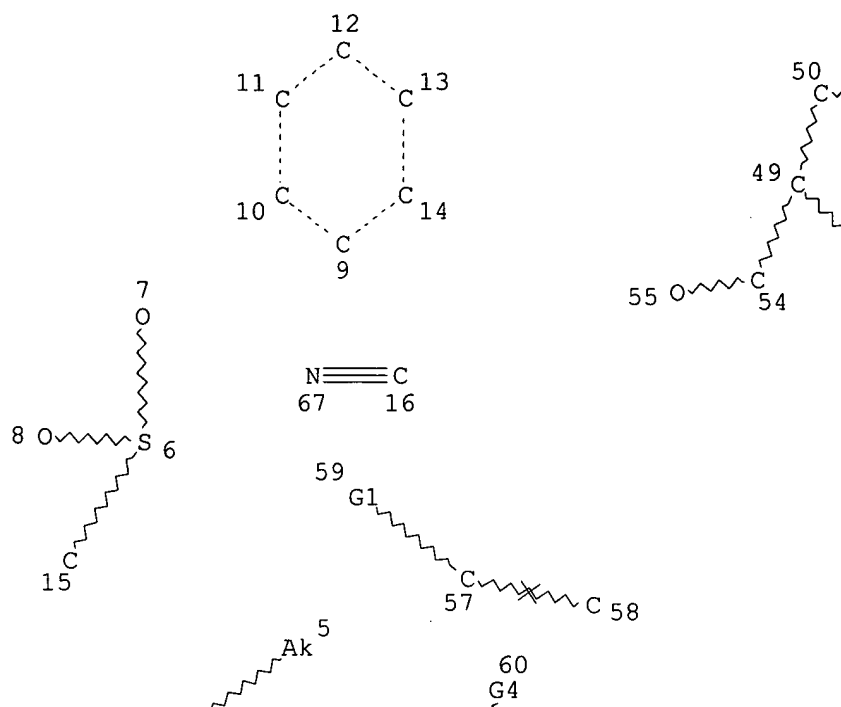
NEW

* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
SEARCHED, SELECTED AND TRANSFERRED.
* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
COMPOUND AT A GLANCE.

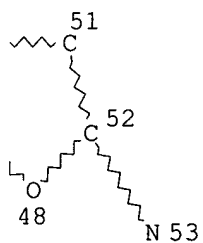
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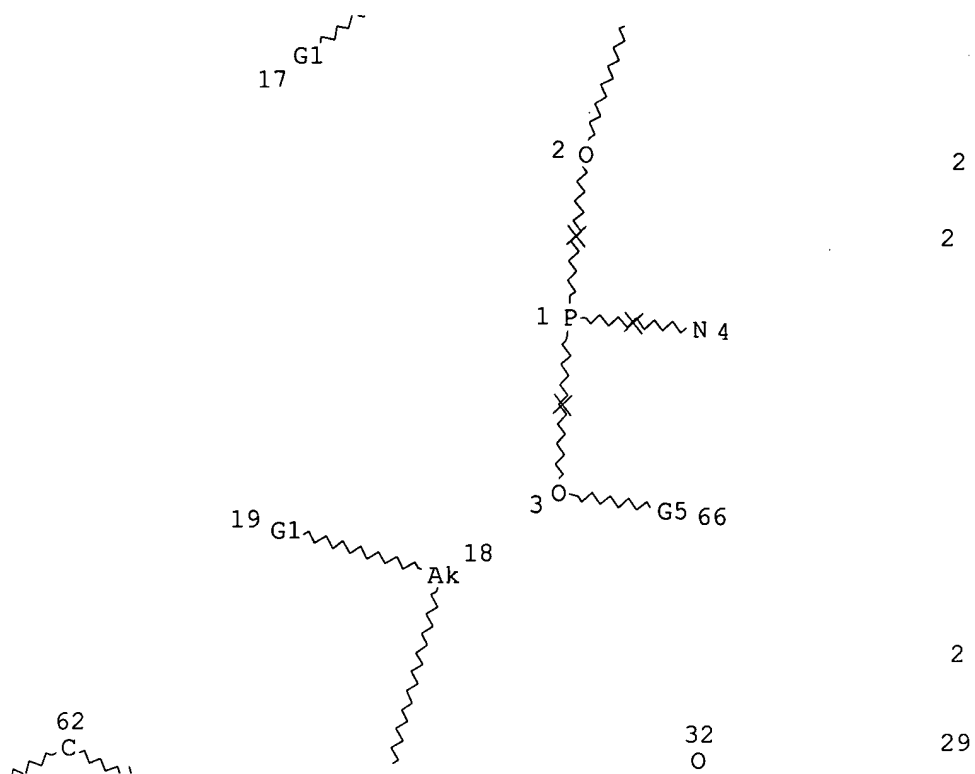


Page 1-A

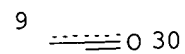
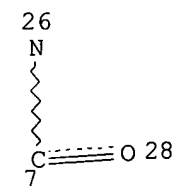
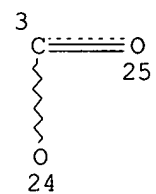
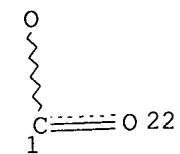


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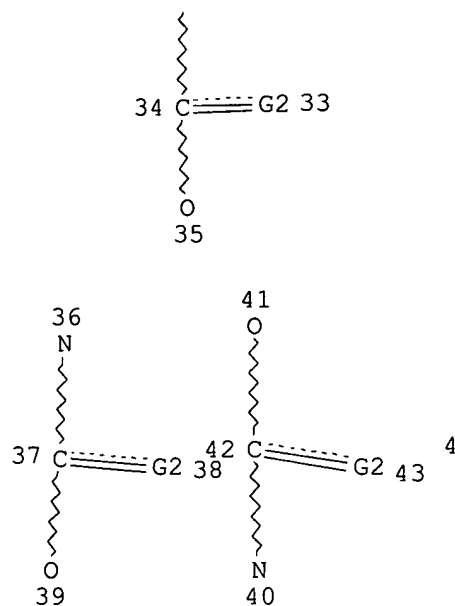
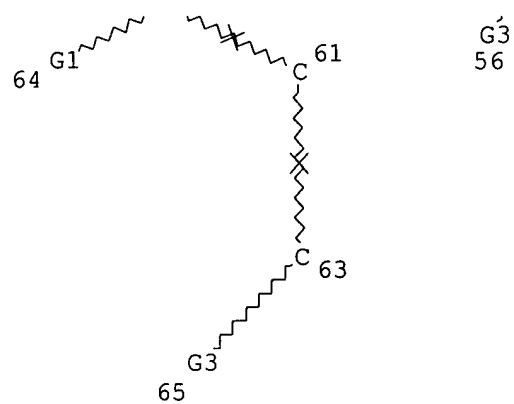
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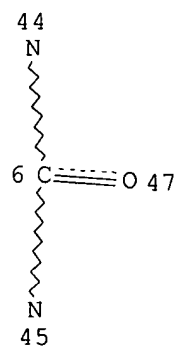
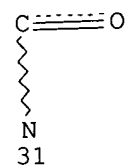
Page 2-A



Page 2-B



Page 3-A



Page 3-B

VAR G1=6/13/16

VAR G2=68/69

VAR G3=20/23/26/29/32/36/41/44

VAR G4=5/58

VAR G5=18/61

NODE ATTRIBUTES:

NSPEC IS RC AT 1

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| NSPEC | IS C | AT | 5 |
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NSPEC IS C AT 65
NSPEC IS C AT 66
NSPEC IS C AT 67
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MLEVEL IS CLASS AT 1 2 3 4 5 6 7 8 15 16 18 20 21 22 23 24 25
26 27 28 29 30 31 32 34 35 36 37 39 40 41 42 44 45 46 47 54 55
57 58 61 62 63 67 68 69
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 69

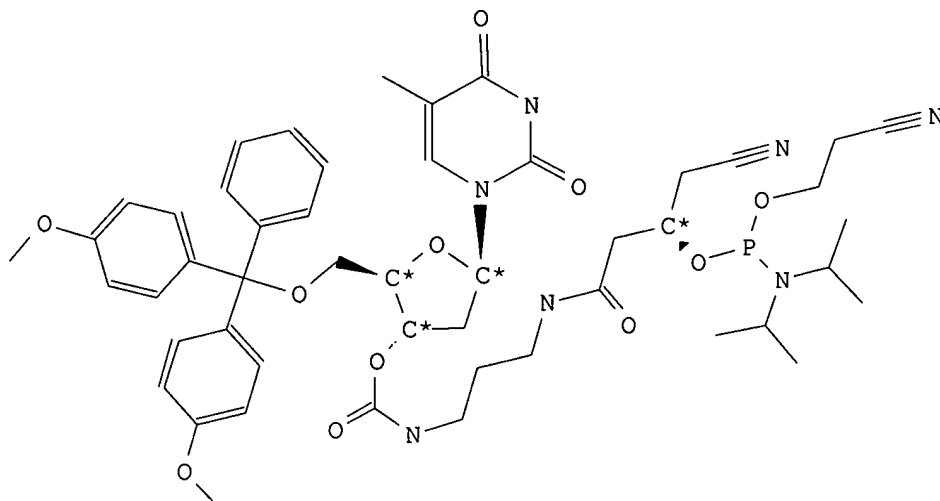
STEREO ATTRIBUTES: NONE
L11 1 SEA FILE=BEILSTEIN SSS FUL L6

100.0% PROCESSED 5297 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.11

=> d ide allref L11 1

L11 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9686389
Chemical Name (CN): (3-<4-cyano-3-<(2-cyano-ethoxy)-
diisopropylamino-phosphanyloxy>-
butyrylamino>-propyl)-carbamic acid
2-<bis-(4-methoxy-phenyl)-phenyl-
methoxymethyl>-5-(5-methyl-2,4-dioxo-3,4-
dihydro-2H-pyrimidin-1-yl)-tetrahydro-
furan-3-yl ester
Autonom Name (AUN): (3-<4-cyano-3-<(2-cyano-ethoxy)-
diisopropylamino-phosphanyloxy>-
butyrylamino>-propyl)-carbamic acid
2-<bis-(4-methoxy-phenyl)-phenyl-
methoxymethyl>-5-(5-methyl-2,4-dioxo-3,4-
dihydro-2H-pyrimidin-1-yl)-tetrahydro-
furan-3-yl ester
Molec. Formula (MF): C49 H62 N7 O11 P
Molecular Weight (MW): 956.04
Lawson Number (LN): 28796, 20545, 6582, 3027, 2836, 2111,
1779, 1762, 289
File Segment (FS): Stereo compound
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 8164042
Tautomer ID (TAUTID): 9079791
Entry Date (DED): 2004/07/21
Update Date (DUPD): 2004/07/21



Field Availability:

| Code | Name | Occurrence |
|--------|----------------------------|------------|
| BRN | Beilstein Records | 1 |
| CN | Chemical Name | 1 |
| AUN | Autonomname | 1 |
| MF | Molecular Formula | 1 |
| FW | Formular Weight | 1 |
| LN | Lawson Number | 9 |
| FS | File Segment | 1 |
| CTYPE | Compound Type | 1 |
| CONSID | Constitution ID | 1 |
| TAUTID | Tautomer ID | 1 |
| DED | Entry Date | 1 |
| DUPD | Update Date | 1 |
| NMR | Nuclear Magnetic Resonance | 4 |

This substance also occurs in Reaction Documents:

| Code | Name | Occurrence |
|-------|-------------------------------|------------|
| RX | Reaction Documents | 1 |
| RXPRO | Substance is Reaction Product | 1 |

All References:

ALLREF

1. Leuck, Michael; Vagle, Kurt E.; Roach, J. Shawn; Wolter, Andreas, Tetrahedron Lett., CODEN: TELEAY, 45(2), <2004>, 321 - 324; BABS-6428187

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005

=> s L8

L27 1 L8

=> dup rem L9 L27

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CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L9
PROCESSING COMPLETED FOR L27
L28 2 DUP REM L9 L27 (1 DUPLICATE REMOVED)
 ANSWERS '1-2' FROM FILE CAPLUS

AUTHOR SEARCH

Krishnan 10/821631

03/10/2006

> file caplus
FILE 'CAPLUS' ENTERED AT 15:07:20 ON 10 MAR 2006
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FILE COVERS 1907 - 10 Mar 2006 VOL 144 ISS 12
FILE LAST UPDATED: 9 Mar 2006 (20060309/ED)

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<http://www.cas.org/infopolicy.html>
'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> s vagle k?/au
L17 16 VAGLE K?/AU

=> s leuck m?/au
L18 14 LEUCK M?/AU

=> s wolter a?/au
L19 188 WOLTER A?/AU

=> s L17 and L18-L19
L20 3 L17 AND (L18 OR L19)

=> s L18 and L19
L21 9 L18 AND L19

=> s L20-L21
L22 10 (L20 OR L21)

=> d ibib abs hitind L22 1-10

L22 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1151435 CAPLUS
TITLE: A novel amino-ON CPG-support for the synthesis of
3'-aminoalkylated oligonucleotides
AUTHOR(S): **Leuck, Michael**; Giare, Rubina; Zien, Nicole;
Paul, Matthias; **Wolter, Andreas**
CORPORATE SOURCE: Proligo Biochemie GmbH, Hamburg, Germany
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2005),
24(5-7), 989-992
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Taylor & Francis, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of a novel amino-ON CPG support and its application in the synthesis of 3'-aminoalkylated oligonucleotides is reported. The release of oligonucleotides with free 3'-amino groups is accomplished by treatment with concentrated ammonia for 2 h at 55°C.

CC 33 (Carbohydrates)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:999708 CAPLUS

DOCUMENT NUMBER: 141:424386

TITLE: Novel phosphorylation reagents for improved processes to convert terminal-hydroxyl groups of oligonucleotides into phosphate mono-esters

INVENTOR(S): Vagle, Kurt; Leuck, Michael; Wolter, Andreas

PATENT ASSIGNEE(S): Proligo, Llc, USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

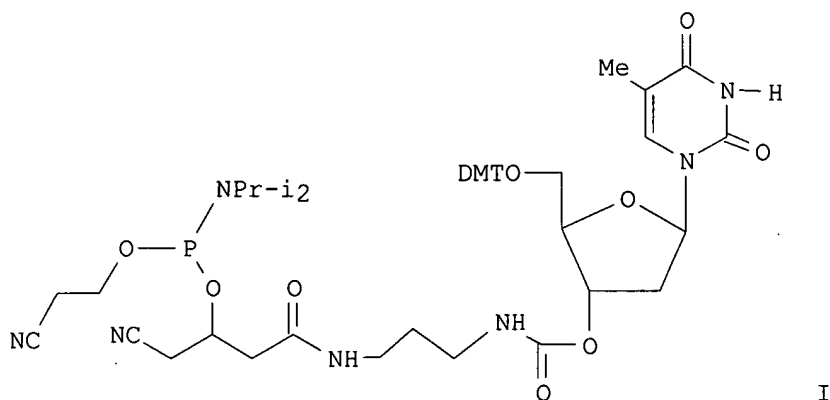
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|------------|
| US 2004230047 | A1 | 20041118 | US 2004-821631 | 20040409 |
| PRIORITY APPLN. INFO.: | | | US 2003-461730P | P 20030409 |
| OTHER SOURCE(S): | MARPAT | 141:424386 | | |

GI



AB The present invention discloses novel phosphoramidite reagents for use in oligonucleotide synthesis. The present invention further discloses novel methods for the conversion of terminal hydroxyl groups of oligonucleotides into phosphate mono-esters. By employing novel reagents, as also disclosed herein, the methods are fully compatible with standard procedures for solid phase oligonucleotide synthesis and do not require addnl. processing steps. The inventive reagents to phosphorylate terminal hydroxyl groups of oligonucleotides are superior to the prior art in that they for the first time combine the desired attributes of being a solid compound for facile handling, comprising two β -eliminating protective

groups removable as fast or faster than the standard cyanoethyl group, providing a DMT-group for easy monitoring of the coupling efficiency, and enabling a fast final deprotection of the phosphorylated oligonucleotide without any extra manipulation steps. Thus nucleoside phosphoramidites I was prepared in synthesis of oligodeoxyribonucleotides.

IC ICM C07H005-06

ICS C07H021-04

INCL 536018700; 536025300; 536117000

CC 33-10 (Carbohydrates)

L22 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:934375 CAPLUS

DOCUMENT NUMBER: 141:395769

TITLE: Preparation of solid supports for the synthesis of 3'-amino oligodeoxyribonucleotides

INVENTOR(S): **Leuck, Michael; Wolter, Andreas**

PATENT ASSIGNEE(S): Proligo LLC, USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

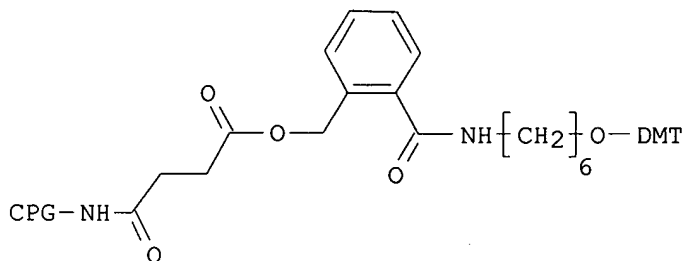
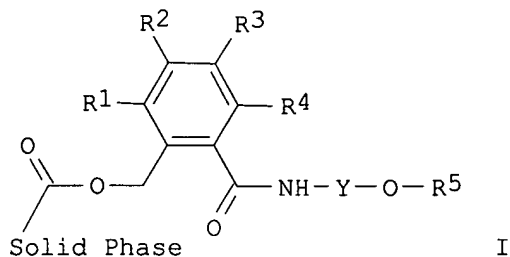
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|------------|
| US 2004220397 | A1 | 20041104 | US 2004-830484 | 20040421 |
| PRIORITY APPLN. INFO.: | | | US 2003-464269P | P 20030421 |
| OTHER SOURCE(S): | MARPAT | 141:395769 | | |

GI



AB The present invention discloses novel methods and solid supports for the synthesis of 3'-amino oligodeoxyribonucleotides I, wherein R1-R4 are independently H, alkyl, heteroalkyl, Ph, alkoxy, heteroalkoxy, carboxy, alkyloxycarbonyl, alkylcarbamoyl, halo, cyano, nitro, sulfo, alkylsulfonyl; R5 is H or a protective group that is removed in the first deblocking step of a solid phase oligonucleotide synthesis; and Y is an organic spacer group comprising a straight or branched chain of one or more methylene groups, wherein the chain is optionally interrupted by one or more moieties independently selected from the group consisting of oxygen atoms, carbonyl groups, amide groups, ureido groups, urethane groups and aryl groups. The novel supports are based on an unsubstituted or ring-substituted hydroxymethyl-benzoyl linker element wherein the hydroxymethyl group is esterified to a solid phase bound carboxylic acid and the carbonyl group is linked to an amino alc. as an amide. The ester function of the support is cleaved under the alkaline deprotection conditions for oligonucleotides to provide a free hydroxymethyl group that aids in the release of the 3'-amino oligonucleotide products with a free amino group through neighbor group participation. The free amino group of the oligonucleotides is available for further conjugation reactions to haptens, reporter groups, surfaces or other small mols. or biomols. The methods provided are particularly mild, do not require any modifications in standard protocols for the synthesis and deprotection of oligonucleotides, provide the 3'-amino oligonucleotides free of side products and do not introduce chiral centers to the oligonucleotides. Thus, polymer support II was prepared in solid phase synthesis of DNA H2N-(CH2)6-O-PO2-d(T10).

IC ICM C07H021-02
ICS C07H021-04
INCL 536025400; 536055300; 536025300
CC 33-10 (Carbohydrates)

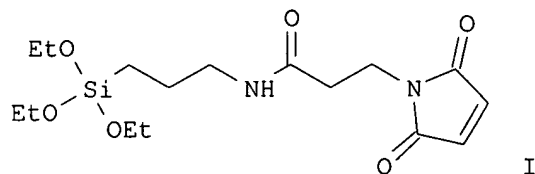
L22 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:977024 CAPLUS
DOCUMENT NUMBER: 140:253800
TITLE: A novel reagent for the chemical phosphorylation of oligonucleotides
AUTHOR(S): **Leuck, Michael; Vagle, Kurt E.;**
Shawn Roach, J.; **Wolter, Andreas**
CORPORATE SOURCE: Proligo Biochemie GmbH Hamburg, Hamburg, D-21147, Germany
SOURCE: Tetrahedron Letters (2004), 45(2), 321-324
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:253800
AB A novel phosphoramidite reagent was developed to convert terminal hydroxyl groups of oligonucleotides into phosphate monoesters. The reagent's appearance as a solid foam is advantageous for its manipulation and handling in solid-phase synthesis and improves its thermal stability.
CC 33-9 (Carbohydrates)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:977023 CAPLUS
DOCUMENT NUMBER: 140:253809
TITLE: A novel solid support for the synthesis of 3'-amino-alkylated oligonucleotides
AUTHOR(S): **Leuck, Michael;** Giare, Rubina; Paul,

CORPORATE SOURCE: Matthias; Zien, Nicole; **Wolter, Andreas**
Proligo Biochemie GmbH Hamburg, Hamburg, D-21147,
Germany
SOURCE: Tetrahedron Letters (2004), 45(2), 317-320
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:253809
AB A novel improved controlled pore glass (CPG) support based on the
2-(hydroxymethyl)-6-nitrobenzoyl (HMNB) protecting group was developed for
the synthesis of 3'-amino-alkylated oligonucleotides. The release of
oligonucleotides with free 3'-amino groups from the support is complete
within 2 h at 55 °C in concentrated ammonia.
CC 33-10 (Carbohydrates)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:912711 CAPLUS
DOCUMENT NUMBER: 139:365177
TITLE: Method for immobilizing oligonucleotides employing the
Diels Alder cycloaddition bio-conjugation method
INVENTOR(S): Pieken, Wolfgang; **Wolter, Andreas**; Sebesta,
David P.; **Leuck, Michael**; Latham-Timmons,
Hallie A.; Pilon, John; Husar, Gregory M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.
Ser. No. 341,337.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|-------------|
| US 2003215801 | A1 | 20031120 | US 2001-845742 | 20010501 |
| WO 9830575 | A1 | 19980716 | WO 1998-US649 | 19980108 |
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| US 6737236 | B1 | 20040518 | US 1999-341337 | 19990708 |
| PRIORITY APPLN. INFO.: | | | WO 1998-US649 | W 19980108 |
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| | | | US 2001-265020P | P 20010130 |
| | | | US 1997-34651P | P 19970108 |
| | | | US 1997-780517 | A2 19970108 |
| | | | US 1997-58206P | P 19970908 |
| | | | US 1998-51449 | A2 19980406 |
| OTHER SOURCE(S): | MARPAT 139:365177 | | | |
| GI | | | | |



AB This invention discloses a novel method for immobilizing mols. to a support in solid phase synthesis of DNA. Specifically, this invention discloses a method of immobilizing derivatized biomols., such as oligonucleotides and DNA, using cycloaddn. reactions, such as the Diels-Alder reaction. Included in this invention are the novel immobilized biomols. that can be prepared according to the method of this invention. Thus, glass slide CPG-bound maleimide silane reagent I was prepared and submitted to a Diels Alder cycloaddn. with cyclohexadiene-oligodeoxyribonucleotide to give the corresponding polymer supported DNA conjugate.

IC ICM C12Q001-68

ICS G01N033-53; G01N033-542; B05D003-00

INCL 435006000; 435007900; 435007500; 427002110

CC 33-10 (Carbohydrates)

Section cross-reference(s): 6, 9

L22 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:714451 CAPLUS

DOCUMENT NUMBER: 140:199624

TITLE: Novel Method for the Covalent Immobilization of Oligonucleotides via Diels-Alder Bioconjugation

AUTHOR(S): Latham-Timmons, Hallie A.; **Wolter, Andreas;**

Roach, J. Shawn; Giare, Rubina; **Leuck, Michael**

CORPORATE SOURCE: Proligo LLC, Boulder, CO, 80301, USA

SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2003), 22(5-8), 1495-1497

CODEN: NNNAFY; ISSN: 1525-7770

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis of cyclohexadiene and maleimide derivs. and their use for the functionalization of oligonucleotides and the coating of glass surfaces is reported. A method for the covalent attachment of diene or maleimide modified oligonucleotides to the coated glass surfaces via aqueous Diels-Alder reactions is presented (no data).

CC 33-10 (Carbohydrates)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:591362 CAPLUS

DOCUMENT NUMBER: 139:149462

TITLE: Novel diene capping reagents for the integrated synthesis and purification of oligonucleotides with increased yields and efficient removal of failure sequences

INVENTOR(S): Pieken, Wolfgang; **Wolter, Andreas;**

PATENT ASSIGNEE(S): **Leuck, Michael**
SOURCE: Proligo, Llc, USA
PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2003062452 | A2 | 20030731 | WO 2003-US2008 | 20030122 |
| WO 2003062452 | A3 | 20031204 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2003195351 | A1 | 20031016 | US 2003-349195 | 20030122 |
| PRIORITY APPLN. INFO.: | | | US 2002-351991P | P 20020123 |

OTHER SOURCE(S): MARPAT 139:149462

AB The present invention discloses novel methods for the integrated synthesis and purification of oligonucleotides. The methods employ novel capping reagents carrying two functional groups. The first functional group provides for a smooth and efficient capping process and incorporates the second functional group into contaminant oligonucleotides during solid phase oligonucleotide synthesis. The second functional group functions as a chemical purification handle in the trapping of truncated oligonucleotides (failure sequences) on a solid support. The trapping process creates covalent bonds between the solid support and the truncated oligonucleotides and therefore allows the removal of the truncated sequences from the desired full length oligonucleotide product by filtration. The chemical trapping process employed in this invention is based on cycloaddn. reactions, particularly Diels-Alder reactions between the truncated oligonucleotides and the trapping agent. The invention includes novel solid support compns. that carry covalently attached Diels-Alder reaction components.

IC ICM C12Q

CC 26-9 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 3

L22 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:817076 CAPLUS

DOCUMENT NUMBER: 135:371959

TITLE: Method for immobilizing oligonucleotides employing the Diels Alder cycloaddition bio-conjugation method

INVENTOR(S): Pieken, Wolfgang; **Wolter, Andreas**; Sebesta, David P.; **Leuck, Michael**; Latham-Timmons, Hallie A.; Pilon, John; Husar, Gregory M.

PATENT ASSIGNEE(S): Proligo LLC, USA

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

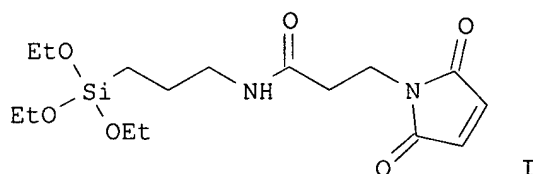
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2001084234 | A1 | 20011108 | WO 2001-US13956 | 20010501 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1287404 | A1 | 20030305 | EP 2001-932784 | 20010501 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003535317 | T2 | 20031125 | JP 2001-580595 | 20010501 |
| PRIORITY APPLN. INFO.: | | | US 2000-201561P | P 20000501 |
| | | | US 2001-265020P | P 20010130 |
| | | | WO 2001-US13956 | W 20010501 |

OTHER SOURCE(S): MARPAT 135:371959
GI



AB This invention discloses a novel method for immobilizing mols. to a support in solid phase synthesis of DNA. Specifically, this invention discloses a method of immobilizing derivatized biomols., such as oligonucleotides and DNA, using cycloaddn. reactions, such as the Diels-Alder reaction. Included in this invention are the novel immobilized biomols. that can be prepared according to the method of this invention. Thus, glass slide CPG-bound maleimide silane reagent I was prepared and submitted to a Diels Alder cycloaddn. with cyclohexadiene-oligodeoxyribonucleotide to give the corresponding polymer supported DNA conjugate.

IC ICM G03C005-00

ICS C07H019-00; C07H019-04

CC 33-10 (Carbohydrates)

Section cross-reference(s): 6

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:500144 CAPLUS

DOCUMENT NUMBER: 135:257423

TITLE: Diels-Alder Bioconjugation of Diene-Modified
Oligonucleotides

AUTHOR(S): Hill, Kenneth W.; Taunton-Rigby, Jon; Carter, Jeffrey
D.; Kropp, Eric; Vagle, Kurt; Pieken,

Wolfgang; McGee, Danny P. C.; Husar, Gregory M.;
Leuck, Michael; Anziano, Dominic J.; Sebesta,
David P.
CORPORATE SOURCE: NeXstar Pharmaceuticals, Boulder, CO, 80301, USA
SOURCE: Journal of Organic Chemistry (2001), 66(16), 5352-5358
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:257423
AB In an effort to offer complementary technol. for covalent biomol.
modification (bioconjugation), the authors have developed a method that
exploits the aqueous acceleration of Diels-Alder reactions for this purpose.
Three different diene phosphoramidite reagents have been synthesized that
enable diene modification of synthetic oligonucleotides prepared by the
phosphoramidite method. Clean and efficient Diels-Alder cycloaddn. of
these diene oligonucleotides with maleimide dieneophiles was carried out,
and the labeled oligonucleotide bioconjugates were characterized by HPLC
and electrospray mass spectrometry. Dieneophile stoichiometry, temperature,
and pH are all parameters that were shown to influence the efficiency of the
process.
CC 33-10 (Carbohydrates)
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Search history

Krishnan 10/821631

03/10/2006

> d his full

(FILE 'HOME' ENTERED AT 14:12:09 ON 10 MAR 2006)

FILE 'REGISTRY' ENTERED AT 14:12:16 ON 10 MAR 2006

L1 STRUCTURE UPLOADED
L2 0 SEA SSS SAM L1

FILE 'CAPLUS' ENTERED AT 14:13:32 ON 10 MAR 2006

E US2004-821631/APPS
L3 1 SEA ABB=ON PLU=ON US2004-821631/AP
D SCA
SEL RN

FILE 'REGISTRY' ENTERED AT 14:14:10 ON 10 MAR 2006

L4 37 SEA ABB=ON PLU=ON (109-76-2/BI OR 156939-39-8/BI OR 178261-43
-3/BI OR 40615-39-2/BI OR 669013-14-3/BI OR 669013-15-4/BI OR
669013-16-5/BI OR 7693-46-1/BI OR 794520-40-4/BI OR 794520-41-5
/BI OR 794520-42-6/BI OR 794520-43-7/BI OR 794520-44-8/BI OR
794520-45-9/BI OR 794520-46-0/BI OR 794520-47-1/BI OR 794520-48
-2/BI OR 794520-49-3/BI OR 794520-50-6/BI OR 794520-51-7/BI OR
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-1/BI OR 794520-56-2/BI OR 794520-57-3/BI OR 794520-58-4/BI OR
794520-59-5/BI OR 794520-60-8/BI OR 794520-61-9/BI OR 794520-62
-0/BI OR 794520-63-1/BI OR 795097-88-0/BI OR 795097-89-1/BI OR
795097-90-4/BI OR 795097-91-5/BI OR 795097-92-6/BI)
D SCA

FILE 'STNGUIDE' ENTERED AT 14:15:32 ON 10 MAR 2006

FILE 'REGISTRY' ENTERED AT 14:20:48 ON 10 MAR 2006
L5 STRUCTURE UPLOADED

FILE 'STNGUIDE' ENTERED AT 14:22:02 ON 10 MAR 2006

FILE 'REGISTRY' ENTERED AT 14:32:08 ON 10 MAR 2006
L6 STRUCTURE UPLOADED
L7 0 SEA SSS SAM L6
L8 22 SEA SSS FUL L6
SAVE TEMP L8 KRI631STRC/A

FILE 'CAPLUS' ENTERED AT 14:34:55 ON 10 MAR 2006

L9 2 SEA ABB=ON PLU=ON L8
D SCA

FILE 'BEILSTEIN' ENTERED AT 14:36:36 ON 10 MAR 2006

L10 0 SEA SSS SAM L6
L11 1 SEA SSS FUL L6

FILE 'BEILSTEIN' ENTERED AT 14:37:47 ON 10 MAR 2006

D STAT QUE L11
D IDE ALLREF L11 1

FILE 'STNGUIDE' ENTERED AT 14:38:56 ON 10 MAR 2006

FILE 'REGISTRY' ENTERED AT 14:48:42 ON 10 MAR 2006
D SCA L8

FILE 'STNGUIDE' ENTERED AT 14:50:00 ON 10 MAR 2006

L12 FILE 'REGISTRY' ENTERED AT 14:50:49 ON 10 MAR 2006
15 SEA ABB=ON PLU=ON L4 NOT L8
D SCA

FILE 'STNGUIDE' ENTERED AT 14:55:28 ON 10 MAR 2006

L13 FILE 'REGISTRY' ENTERED AT 15:04:49 ON 10 MAR 2006
STRUCTURE UPLOADED
L14 0 SEA SSS SAM L13

L15 FILE 'MARPAT' ENTERED AT 15:05:19 ON 10 MAR 2006
0 SEA SSS SAM L13
L16 4 SEA SSS FUL L13
SAVE TEMP L16 KRI631STRM/A

FILE 'STNGUIDE' ENTERED AT 15:07:16 ON 10 MAR 2006

L17 FILE 'CAPLUS' ENTERED AT 15:07:20 ON 10 MAR 2006
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L19 188 SEA ABB=ON PLU=ON WOLTER A?/AU
L20 3 SEA ABB=ON PLU=ON L17 AND (L18 OR L19)
L21 9 SEA ABB=ON PLU=ON L18 AND L19
L22 10 SEA ABB=ON PLU=ON (L20 OR L21)
D IBIB ABS HITIND L22 1-10

FILE 'REGISTRY' ENTERED AT 15:11:16 ON 10 MAR 2006

FILE 'CAPLUS' ENTERED AT 15:11:19 ON 10 MAR 2006

FILE 'REGISTRY' ENTERED AT 15:11:32 ON 10 MAR 2006

FILE 'CAPLUS' ENTERED AT 15:11:35 ON 10 MAR 2006
D STAT QUE L9

FILE 'MARPAT' ENTERED AT 15:11:59 ON 10 MAR 2006
D STAT QUE L16

L23 FILE 'CAPLUS, MARPAT' ENTERED AT 15:12:12 ON 10 MAR 2006
5 DUP REM L9 L16 (1 DUPLICATE REMOVED)
ANSWERS '1-2' FROM FILE CAPLUS
ANSWERS '3-5' FROM FILE MARPAT
D IBIB ABS HITSTR L23 1-2
D IBIB ABS HIT L23 3-5

FILE 'STNGUIDE' ENTERED AT 15:14:24 ON 10 MAR 2006

L24 FILE 'REGISTRY' ENTERED AT 15:27:16 ON 10 MAR 2006
ANALYZE PLU=ON L8 1- LC : 3 TERMS
D

L25 FILE 'USPATFULL' ENTERED AT 15:27:47 ON 10 MAR 2006
1 SEA ABB=ON PLU=ON L8

L26 FILE 'CAPLUS, USPATFULL' ENTERED AT 15:28:07 ON 10 MAR 2006
2 DUP REM L9 L25 (1 DUPLICATE REMOVED)
ANSWERS '1-2' FROM FILE CAPLUS

FILE 'REGISTRY' ENTERED AT 15:28:34 ON 10 MAR 2006
D L24

L27 FILE 'USPATFULL' ENTERED AT 15:28:51 ON 10 MAR 2006
1 SEA ABB=ON PLU=ON L8

L28 FILE 'CAPLUS, USPATFULL' ENTERED AT 15:29:07 ON 10 MAR 2006
2 DUP REM L9 L27 (1 DUPLICATE REMOVED)
ANSWERS '1-2' FROM FILE CAPLUS

FILE HOME

FILE REGISTRY

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STRUCTURE FILE UPDATES: 9 MAR 2006 HIGHEST RN 876338-69-1
DICTIONARY FILE UPDATES: 9 MAR 2006 HIGHEST RN 876338-69-1

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FILE STNGUIDE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Mar 3, 2006 (20060303/UP).

FILE BEILSTEIN

FILE LAST UPDATED ON JANUARY 17, 2006

FILE COVERS 1771 TO 2005.

FILE CONTAINS 9,428,406 SUBSTANCES

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FILE MARPAT

FILE CONTENT: 1969-PRESENT VOL 144 ISS 10 (20060303/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

| | | | | |
|----|--------------|----|-----|------|
| US | 2006014764 | 19 | JAN | 2006 |
| DE | 202005014897 | 22 | DEC | 2005 |
| EP | 1609846 | 28 | DEC | 2005 |
| JP | 2005353222 | 22 | DEC | 2005 |
| WO | 2006003494 | 12 | JAN | 2006 |
| GB | 2415429 | 28 | DEC | 2005 |

FR 2871802 23 DEC 2005
RU 2266908 27 DEC 2005
CA 2495134 23 DEC 2005

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FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 Mar 2006 (20060309/PD)

FILE LAST UPDATED: 9 Mar 2006 (20060309/ED)

HIGHEST GRANTED PATENT NUMBER: US7010810

HIGHEST APPLICATION PUBLICATION NUMBER: US2006053519

CA INDEXING IS CURRENT THROUGH 7 Mar 2006 (20060307/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 Mar 2006 (20060309/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2005